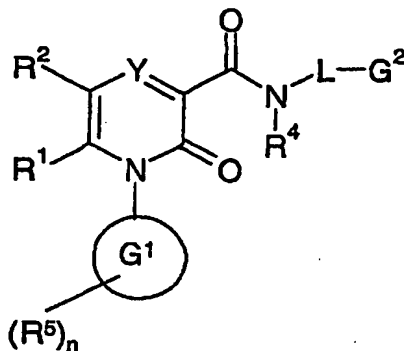


Claims

1. A compound of formula (I)



wherein:

Y represents CR<sup>3</sup> or N;

R<sup>1</sup> represents H or C1 to 6 alkyl;

R<sup>2</sup> represents phenyl or a five- or six-membered heteroaromatic ring containing 1 to 4 heteroatoms independently selected from O, S and N; said aromatic ring being optionally substituted by 1 to 3 substituents selected independently from OH, halogen, C1 to 6 alkyl, C1 to 6 alkoxy, NR<sup>58</sup> COR<sup>50</sup>, COOR<sup>51</sup>, COR<sup>52</sup>, CONR<sup>53</sup> R<sup>54</sup> and NR<sup>47</sup> R<sup>48</sup>; said alkyl being optionally further substituted by OH, C1 to 6 alkoxy, CN or CO<sub>2</sub>R<sup>49</sup>;

R<sup>47</sup> and R<sup>48</sup> independently represent H, C1 to 6 alkyl or C2 to 6 alkanoyl;

R<sup>3</sup> represents H or F;

$G^1$  represents phenyl or a five- or six-membered heteroaromatic ring containing 1 to 3 heteroatoms independently selected from O, S and N;

$R^5$  represents H, halogen, C1 to 6 alkyl, CN, C1 to 6 alkoxy,  $NO_2$ ,  $NR^{14}R^{15}$ , C1 to 3 alkyl substituted by one or more F atoms or C1 to 3 alkoxy substituted by one or more F atoms;

$R^{14}$  and  $R^{15}$  independently represent H or C1 to 3 alkyl; said alkyl being optionally further substituted by one or more F atoms;

n represents an integer 1, 2 or 3 and when n represents 2 or 3, each  $R^5$  group is selected independently;

$R^4$  represents H or C1 to 6 alkyl; said alkyl being optionally further substituted by OH or C1 to 6 alkoxy;

or  $R^4$  and L are joined together such that the group  $-NR^4L$  represents a 5 to 7 membered azacyclic ring optionally incorporating one further heteroatom selected from O, S and  $NR^{16}$ ;

L represents a bond, O,  $S(O)_p$ ,  $NR^{29}$  or C1 to 6 alkyl; said alkyl optionally incorporating a heteroatom selected from O, S and  $NR^{16}$ ; and said alkyl being optionally further substituted by OH or OMe;

$G^2$  represents a monocyclic ring system selected from:

- i) phenyl or phenoxy,
- ii) a 5 or 6 membered heteroaromatic ring containing one to three heteroatoms independently selected from O, S and N,
- iii) a C3 to 6 saturated or partially unsaturated cycloalkyl, or

iv) a C4 to 7 saturated or partially unsaturated heterocyclic ring containing one or two heteroatoms independently selected from O, S(O)<sub>p</sub> and NR<sup>17</sup> and optionally further incorporating a carbonyl group; or

5  $G^2$  represents a bicyclic ring system in which each of the two rings is independently selected from:

i) phenyl,

ii) a 5 or 6 membered heteroaromatic ring containing one to three heteroatoms  
10 independently selected from O, S and N,

iii) a C3 to 6 saturated or partially unsaturated cycloalkyl, or

iv) a C4 to 7 saturated or partially unsaturated heterocyclic ring containing one or two heteroatoms independently selected from O, S(O)<sub>p</sub> and NR<sup>17</sup> and optionally further incorporating a carbonyl group;

15

and the two rings are either fused together, or are bonded directly together or are separated by a linker group selected from O, S(O)<sub>q</sub> or CH<sub>2</sub>,

said monocyclic or bicyclic ring system being optionally further substituted by one to three  
20 substituents independently selected from CN, OH, C1 to 6 alkyl, C1 to 6 alkoxy, halogen, NR<sup>18</sup> R<sup>19</sup>, NO<sub>2</sub>, OSO<sub>2</sub>R<sup>38</sup>, CO<sub>2</sub>R<sup>20</sup>, C(=NH)NH<sub>2</sub>, C(O)NR<sup>21</sup> R<sup>22</sup>, C(S)NR<sup>23</sup> R<sup>24</sup>, SC(=NH)NH<sub>2</sub>, NR<sup>31</sup> C(=NH)NH<sub>2</sub>, S(O)<sub>s</sub>R<sup>25</sup>, SO<sub>2</sub>NR<sup>26</sup> R<sup>27</sup>, C1 to 3 alkoxy substituted by one or more F atoms and C1 to 3 alkyl substituted by SO<sub>2</sub>R<sup>39</sup>, NR<sup>56</sup> R<sup>57</sup> or by one or more F atoms;

25

or

when L does not represent an bond,  $G^2$  may also represent H;

At each occurrence, p, q, s and t independently represent an integer 0, 1 or 2;

$R^{18}$  and  $R^{19}$  independently represent H, C1 to 6 alkyl, formyl, C2 to 6 alkanoyl,  $S(O)_t R^{32}$  or  $SO_2 NR^{33} R^{34}$ ; said alkyl group being optionally further substituted by halogen, CN, C1 to 4 alkoxy or  $CONR^{41} R^{42}$ ;

5

$R^{25}$  represents H, C1 to 6 alkyl or C3 to 6 cycloalkyl; said alkyl group being optionally further substituted by one or more substituents selected independently from OH, CN,  $CONR^{35} R^{36}$ ,  $CO_2 R^{37}$ ,  $OCOR^{40}$ , C3 to 6 cycloalkyl, a C4 to 7 saturated heterocyclic ring containing one or two heteroatoms independently selected from O,  $S(O)_p$  and  $NR^{43}$  and  
 10 phenyl or a 5 or 6 membered heteroaromatic ring containing one to three heteroatoms independently selected from O, S and N; said aromatic ring being optionally further substituted by one or more substituents selected independently from halogen, CN, C1 to 4 alkyl, C1 to 4 alkoxy, OH,  $CONR^{44} R^{45}$ ,  $CO_2 R^{46}$ ,  $S(O)_s R^{55}$  and  $NHCOCH_3$ ;

15  $R^{32}$  represents H, C1 to 6 alkyl or C3 to 6 cycloalkyl;

$R^{16}, R^{17}, R^{20}, R^{21}, R^{22}, R^{23}, R^{24}, R^{26}, R^{27}, R^{29}, R^{31}, R^{33}, R^{34}, R^{35}, R^{36}, R^{37}, R^{38},$   
 $R^{39}, R^{40}, R^{41}, R^{42}, R^{43}, R^{44}, R^{45}, R^{46}, R^{49}, R^{50}, R^{51}, R^{52}, R^{53}, R^{54}, R^{55}, R^{56}, R^{57}$   
 and  $R^{58}$  independently represent H or C1 to 6 alkyl;

20 and pharmaceutically acceptable salts thereof.

2. A compound of formula (I), according to Claim 1, wherein Y represents  $CR^3$ .

3. A compound of formula (I), according to Claim 1 or Claim 2, wherein  $G^1$  represents  
 25 phenyl.

4. A compound of formula (I), according to any one of Claims 1 to 3, wherein R<sup>5</sup> represents Cl, CH<sub>3</sub>, CN or CF<sub>3</sub>.

5. A compound of formula (I), according to any one of Claims 1 to 4, or a  
5 pharmaceutically acceptable salt thereof, for use as a medicament.

6. A pharmaceutical formulation comprising a compound of formula (I), as defined in any one of Claims 1 to 4, or a pharmaceutically acceptable salt thereof, optionally in admixture with a pharmaceutically acceptable diluent or carrier.

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7. A method of treating, or reducing the risk of, a human disease or condition in which inhibition of neutrophil elastase activity is beneficial which comprises administering to a person suffering from or susceptible to such a disease or condition, a therapeutically effective amount of a compound of formula (I), as defined in any one of Claims 1 to 4, or a  
15 pharmaceutically acceptable salt thereof.

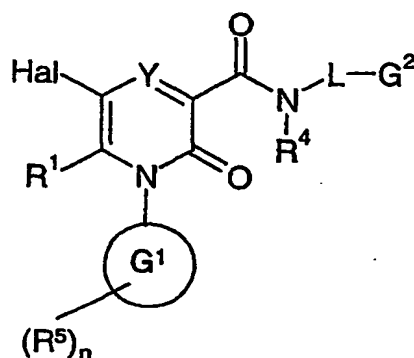
8. The use of a compound of formula (I) as defined in any one of Claims 1 to 4, or a pharmaceutically acceptable salt thereof, in the manufacture of a medicament for the treatment or prophylaxis of human diseases or conditions in which inhibition of neutrophil elastase activity is beneficial.  
20

9. The use of a compound of formula (I) as defined in any one of Claims 1 to 4, or a pharmaceutically acceptable salt thereof, in the manufacture of a medicament for the treatment or prophylaxis of inflammatory diseases or conditions.  
25

10. A process for the preparation of a compound of formula (I), as defined in any one of Claims 1 to 4, and optical isomers, racemates and tautomers thereof and pharmaceutically acceptable salts thereof, which comprises:

30 a) reacting a compound of formula (II)

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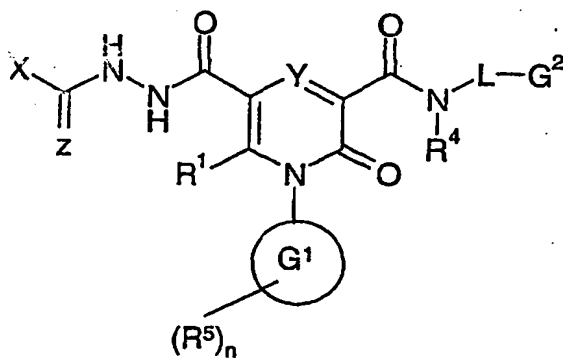
(II)

wherein  $R^1, R^4, R^5, Y, G^1, G^2, L$  and  $n$  are as defined in formula (I) and Hal represents a halogen atom, preferably bromo or iodo;

5 with a nucleophile  $R^2-M$  wherein  $R^2$  is as defined in formula (I) and  $M$  represents an organo-tin or organo boronic acid group; or

b) when  $R^2$  represents a 1,3,4-oxadiazol-2-yl or a 1,3,4-thiadiazol-2-yl ring, reacting a compound of formula (III)

10



(III)

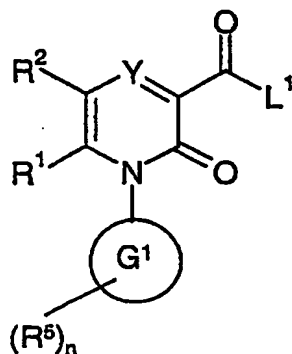
wherein  $R^1, R^4, R^5, Y, G^1, G^2, L$  and  $n$  are as defined in formula (I),  $Z$  represents O or S

and  $X$  represents C1 to 6 alkyl or  $NR^{47}R^{48}$  and  $R^{47}$  and  $R^{48}$  are as defined in formula (I);

with a suitable dehydrating agent such as phosphoryl chloride or trimethylsilyl

15 polyphosphate; or

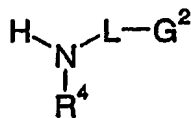
c) reacting a compound of formula (XV)



(XV)

wherein  $R^1$ ,  $R^2$ ,  $R^5$ ,  $n$ ,  $G^1$  and  $Y$  are as defined in formula (I) and  $L^1$  represents a leaving group,

with a compound of formula (IX) or a salt thereof



(IX)

wherein  $R^4$ ,  $G^2$  and  $L$  are as defined in formula (I);

and where desired or necessary converting the resultant compound of formula (I), or another salt thereof, into a pharmaceutically acceptable salt thereof; or converting one compound of formula (I) into another compound of formula (I); and where desired converting the resultant compound of formula (I) into an optical isomer thereof.